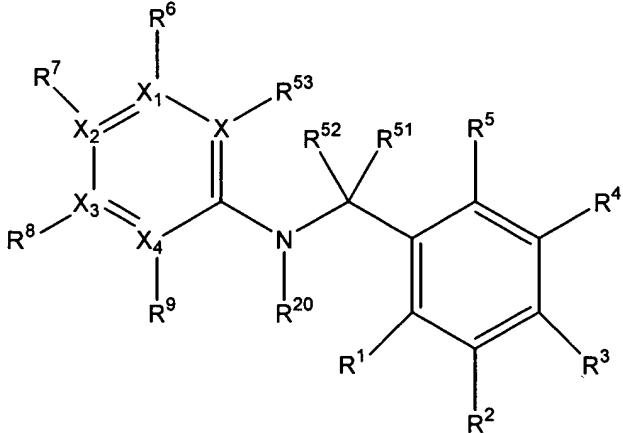


CLAIMS:

1. (currently amended)

A compound of Formula I:



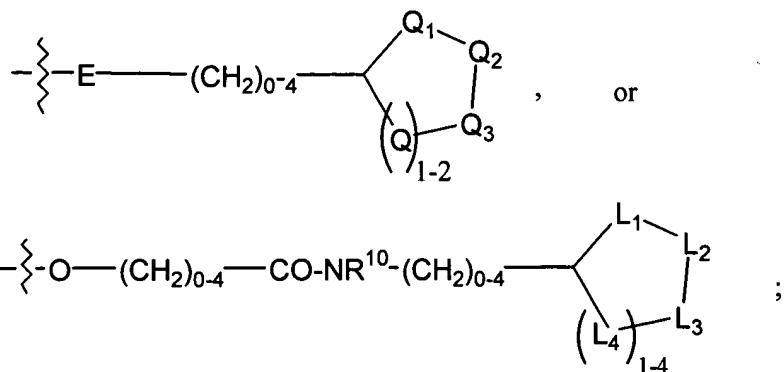
Formula I

its prodrug form or a pharmaceutically acceptable salt thereof, wherein:

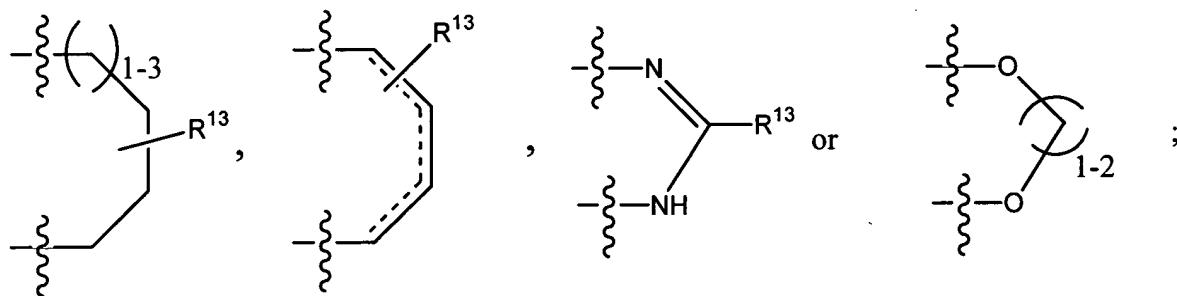
R¹ represents OH, COOH, COO-C₁₋₄ alkyl, CH₂OR¹⁰, SO₂-OH, O-SO₂-OH, O-SO₂-OC₁₋₄ alkyl, OP(O)(OH)₂, or OPO₃C₁₋₄ alkyl;

R², R³, R⁴, and R⁵ independently at each occurrence represent H, SH, OR¹⁰, halogen, COOR¹⁰, CONR¹¹R¹², optionally substituted heterocyclyl, C₄₋₁₄ cycloalkyl-C₁₋₄ alkyl, C₁₋₄ alkyl aryl, optionally substituted C₁₋₁₄ straight chain, branched or cyclo alkyl, NR¹⁰R²⁴, 4-carbamimidoylphenylazo, (2-morpholin-4-ylethylcarbamoyl)methoxy, 4-carbamimidoyl-phenylcarbamoyl, N=CH-N(CH₃)₂, 1,3-dioxo-1,3-dihydroisoindol-2-yl, toluene-4-sulfonylamino, 3-(4-carbamimidoylphenylcarbamoyl)-4-hydroxyphenylsulfanyl, O(CH₂)₅COOC₂H₅, O(CH₂)₅COOH, (CH₂)₁₋₄-NR³³R³⁴, (CH₂)₁₋₄-COOR³³, O-(CH₂)₁₋₃-CO-het, O-(CH₂)₁₋₂-NH-CO-aryl, O-(CH₂)₀₋₂-NR¹⁰-CO-NR¹⁰R³³, O-(CH₂)₀₋₂-C(O)-NR³³R³⁴, O-(CH₂)₁₋₄-COOR¹⁰, O-(CH₂)₁₋₃-het-R³², O-optionally substituted cycloalkyl, O-(CH₂)₁₋₄-NR¹⁰-COO-t-butyl, O-(CH₂)₁₋₄-NR¹⁰R³³, O-(CH₂)₁₋₄-NR¹⁰-C(O)-C₀₋₃-alkyl-optionally substituted aryl, O-(CH₂)₀₋₆-optionally substituted aryl, (CH₂)₁₋₄-NH-C(O)O-(CH₂)₁₋₄-PhR¹³R¹⁴, NO₂, O-(CH₂)₀₋₄-C(O)-NH-

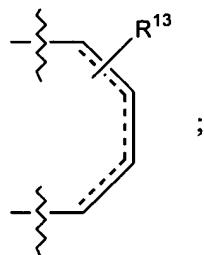
tetrahydro carboline, SO₃H, CH(OH)COOR¹⁰, NR¹⁰R²⁸, O-(CH₂)₁₋₃-optionally substituted het, CH₂COOCH₃, CH=CH-COOCH₃,



alternatively R² and R³, R³ and R⁴, or R⁴ and R⁵ taken together form



R⁶, R⁹ and R⁵³ independently at each occurrence represents H, halogen, cyano, C₁₋₄ alkyl, C₁₋₄ halogenated alkyl, NO₂, O-aryl or OR¹¹;
alternatively R⁶ and R⁵³ taken together form



~~R⁷ and R⁸ independently at each occurrence represent OH, CF₃, H, COOH, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, O-aryl, halogen, cyano, or a basic group selected from guanidino, NH(CH=NH)NH₂, C(=NH)N(R¹⁰)₂, C(=NH)-NH-NH₂, C(=O)N(R¹⁰)₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, C(O)CH₂NH₂, C(O)NHCH₂CN, NHCH₂CN, and thiazolidin-3-yl-methylideneamine; with the proviso that only one of R⁷ and R⁸ represent a basic group;~~

~~R⁷ is a basic group selected from guanidino, NH(CH=NH)NH₂, C(=NH)N(R¹⁰)₂, C(=NH)-NH-NH₂, C(=O)N(R¹⁰)₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, C(O)CH₂NH₂, C(O)NHCH₂CN, NHCH₂CN, and thiazolidin-3-yl-methylideneamine and R⁸ is OH, CF₃, H, COOH, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, O-aryl, halogen, or cyano; or, alternatively, R⁸ is a basic group selected from guanidino, NH(CH=NH)NH₂, C(=NH)N(R¹⁰)₂, C(=NH)-NH-NH₂, C(=O)N(R¹⁰)₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, C(O)CH₂NH₂, C(O)NHCH₂CN, NHCH₂CN, and thiazolidin-3-yl-methylideneamine and R⁷ is OH, CF₃, H, COOH, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, O-aryl, halogen, or cyano;~~

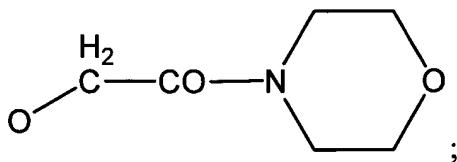
~~R¹⁰ independently at each occurrence represents H, (CH₂)₀₋₂-aryl, C₁₋₄ halo alkyl, or C₁₋₁₄ straight chain, branched or cyclo alkyl, and alternatively, when one atom is substituted with two R¹⁰ groups, the atom along with the R¹⁰ groups can form a five to 10 membered ring structure;~~

X is carbon;

X₁, X₂, X₃ and X₄ independently at each occurrence represent a carbon or a nitrogen atom;

R¹¹ and R¹² independently at each occurrence represent H or C₁₋₄ alkyl;

R¹³ represents H, OH, bromo, methyl, OC₁₋₄ alkyl, OAr, OC₅₋₁₀ cycloalkyl, OCH₂CN, O(CH₂)₁₋₂NH₂, OCH₂COO-C₁₋₄ alkyl or



R²⁰ represents H or OH;

R²⁴ represents R¹⁰, (CH₂)₁₋₄-optionally substituted aryl, (CH₂)₀₋₄OR¹⁰, CO-(CH₂)₁₋₂-

N(R¹⁰)₂, CO(CH₂)₁₋₄-OR¹⁰, (CH₂)₁₋₄-COOR¹⁰, (CH₂)₀₋₄-N(R¹⁰)₂, SO₂R¹⁰, COR¹⁰,

CON(R¹⁰)₂, (CH₂)₀₋₄-aryl-COOR¹⁰, (CH₂)₀₋₄-aryl-N(R¹⁰)₂, or (CH₂)₁₋₄-het-aryl;

R²⁸ represents (CH₂)₁₋₂-Ph-O-(CH₂)₀₋₂-het-R³⁰, C(O)-het, CH₂-Ph-CH₂-het-(R³⁰)₁₋₃;

(CH₂)₁₋₄-cyclohexyl-R³¹, CH₂-Ph-O-Ph-(R³⁰)₁₋₂, CH₂-(CH₂OH)-het-R³⁰, CH₂-Ph-O-cycloalkyl-R³¹, CH₂-het-C(O)-CH₂-het-R³⁰, or CH₂-Ph-O-(CH₂)-O-het-R³⁰;

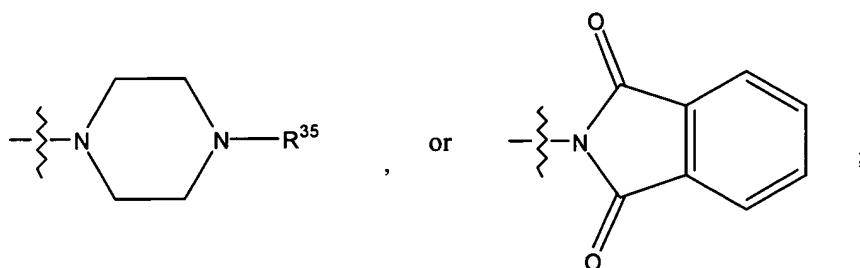
R³⁰ represents SO₂N(R¹⁰)₂, H, NHOH, amidino, or C(=NH)CH₃;

R³¹ represents R³⁰, amino-amidino, NH-C(=NH)CH₃ or R¹⁰;

R³² represents H, C(O)-CH₂-NH₂, or C(O)-CH(CH(CH₃)₂)-NH₂;

R³³ and R³⁴ independently at each occurrence represent R¹⁰, (CH₂)₀₋₄-Ar, optionally substituted aryl, (CH₂)₀₋₄ optionally substituted heteroaryl, (CH₂)₁₋₄-CN, (CH₂)₁₋₄-N(R¹⁰)₂, (CH₂)₁₋₄-OH, (CH₂)₁₋₄-SO₂-N(R¹⁰)₂;

alternatively, R³³ and R³⁴ along with the nitrogen atom that they are attached to forms a 4 to 14 atom ring structure selected from tetrahydro-1H-caroline; 6,7-Dialkoxyoxy-2-substituted 1,2,3,4-tetrahydro-isoquinoline,



R³⁵ represents R¹⁰, SO₂-R¹⁰, COR¹⁰, or CONHR¹⁰;

E represents a bond, S(O)₀₋₂, O or NR¹⁰;

Q, Q¹, Q², Q³, L¹, L², L³ and L⁴ independently at each occurrence represent N-natural amino acid side chain, CHR¹⁰, O, NH, S(O)₀₋₂, N-C(O)-NHR¹⁰, SO₂-N(R¹⁰)₂, N-C(O)-NH-(CH₂)₁₋₄-R²⁶, NR¹⁰, N-heteroaryl, N-C(=NH)-NHR¹⁰, or N-C(=NH)C₁₋₄ alkyl; R²⁶ represents OH, NH₂, or SH;

R⁵¹ and R⁵² independently represent COOH, CH₂OH, CH₂COOH, COOR, CH₂COOR, alkyl or CO-NH₂; alternatively

R⁵¹ and R⁵² taken together represent =O, =S, =CH₂ or =NR¹⁰;

R⁵³ represents H, halogen, cyano, C₁₋₄ alkyl, C₁₋₄ halogenated alkyl, NO₂, O-aryl or OR⁴⁴;

with the proviso that at least two of X₁, X₂, X₃ and X₄ represent a carbon atom, and when any of X₁, X₂, X₃ and X₄ represent a nitrogen atom the corresponding substituent does not exist.

2. (original) A compound of Claim 1 wherein

R¹ represents OH or COOH;

R²⁰ represents H;

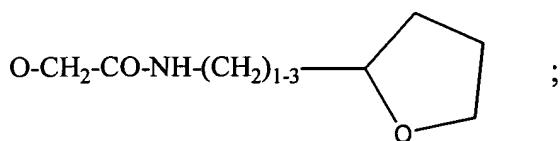
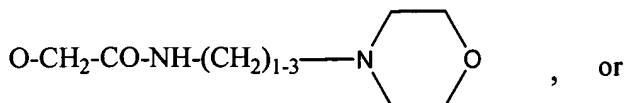
R⁵¹ and R⁵² taken together form =O; and

X₁, X₂, X₃, and X₄ represent C.

3. (original) A compound of Claim 2 wherein:

R² represents halo, H, NH-CO-Ph, i-propyl, OH, OCH₃, OC₂H₅, CH(OH)COOH, O-I-propyl, SO₃H, NH₂, CH(OH)COOC₁₋₂ alkyl, CH₃, NO₂ or Ph;

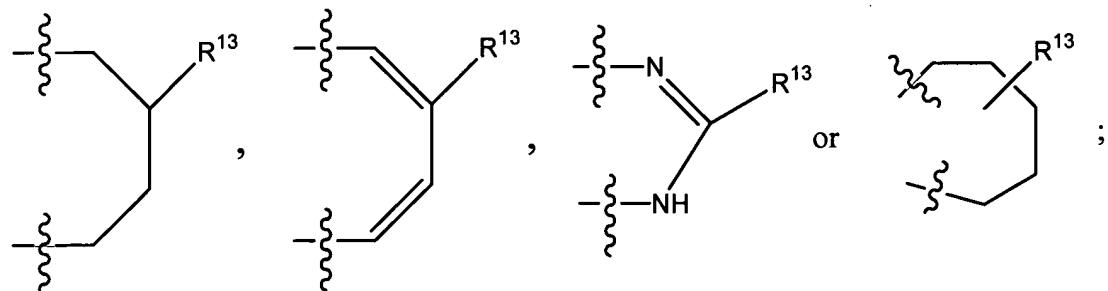
R³ represents H, OH, NH₂ OC₁₋₄ alkyl, C₁₋₄ alkyl, NHCH₃, O-(CH₂)₁₋₃-OCO-C₁₋₂ alkyl, NH-C(O)C₁₋₂ alkyl, O-(CH₂)₁₋₂-CO-NH₂, Ph, NHCOCF₃, N=CH-N(CH₃)₂, O-CH₂-CO-NH-(CH₂)₁₋₃-Ph,



R⁴ represents H, C₁₋₄ alkyl, halogen, *i*-propyl, OH, NH₂ 3-nitro-phen-1-yl, NH-CO-CH₃, CH₂-NH-(CH₂)₃-Ph, 2,4-difluoro-phen-1-yl, NHCOCF₃, benzo[1,3]dioxol-5-yl, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl; 1,3-Dioxo-indan-2-yl, or toluene-4-sulfonylamino;

R⁵ represents H or OH;

alternatively, R² and R³, R³ and R⁴, or R⁴ and R⁵ can be taken together to form



R⁶ represents H;

R⁷ represents C(=NH)-NH₂ or NH-C(=NH)-NH₂;

R⁸ represents H or halogen; and

R⁹ represents H.

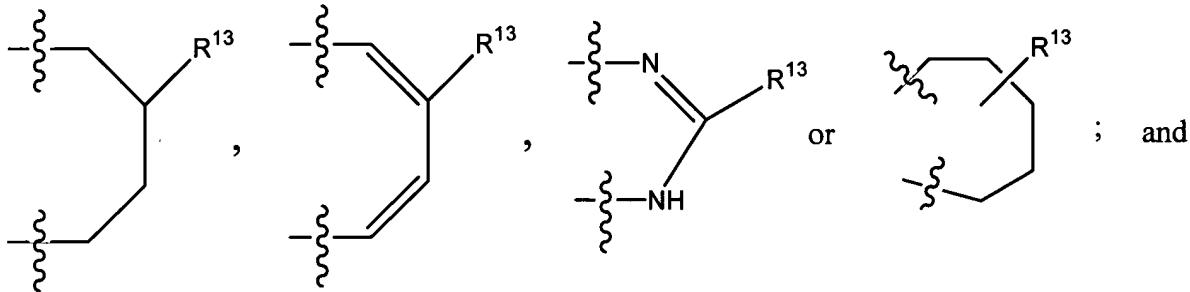
4. (original) A compound of claim 3 wherein

R² represents halo, H, NH-CO-Ph, *i*-propyl, OH, CH₃, or NO₂;

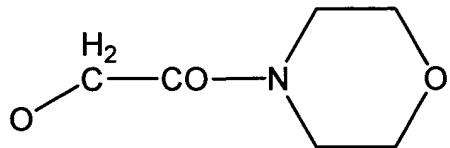
R³ represents H, OH, NH₂, OC₁₋₂ alkyl, C₁₋₄ alkyl, O-(CH₂)₁₋₃-OCO-C₁₋₂ alkyl, NH-C(O)CH₃, O-CH₂-CO-NH₂, Ph, NHCOCF₃, N=CH-N(CH₃)₂, O-CH₂-CO-NH-(CH₂)₂-Ph;

R⁴ represents H, CH₃, methoxy, halogen, *i*-propyl, 3-nitro-phen-1-yl, NHCOCF₃, benzo[1,3]dioxol-5-yl, NHCOCH₃, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl or 1,3-Dioxo-indan-2-yl;

alternatively, R² and R³, R³ and R⁴, or R⁴ and R⁵ can be taken together to form



R¹³ represents C₁₋₂ alkyl, OH, O(CH₂)₁₋₂-NH₂, H, or

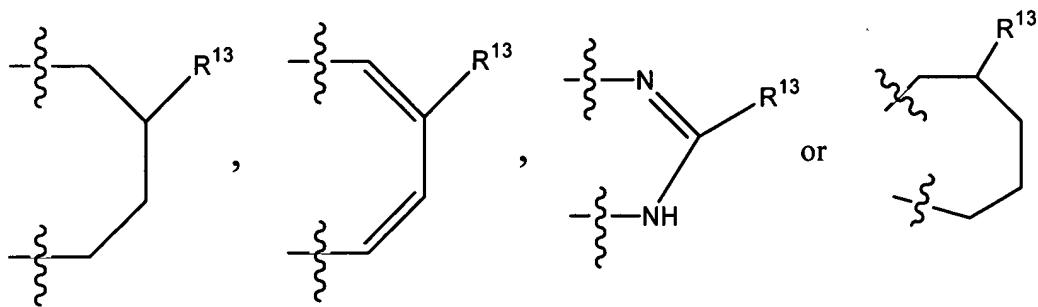


5. (original) A compound of Claim 4 wherein

R³ represents H, OH, NH₂, OC₁₋₂ alkyl, C₁₋₄ alkyl, O-CH₂-OCO-CH₃, NH-C(O)CH₃, O-CH₂-CO-NH₂;

R⁴ represents H, CH₃, halogen, *i*-propyl, benzo[1,3]dioxol-5-yl, or 1,3-Dioxo-indan-2-yl;

alternatively, R² and R³, R³ and R⁴, or R⁴ and R⁵ can be taken together to form



6. (original) A compound of Claim 5 wherein

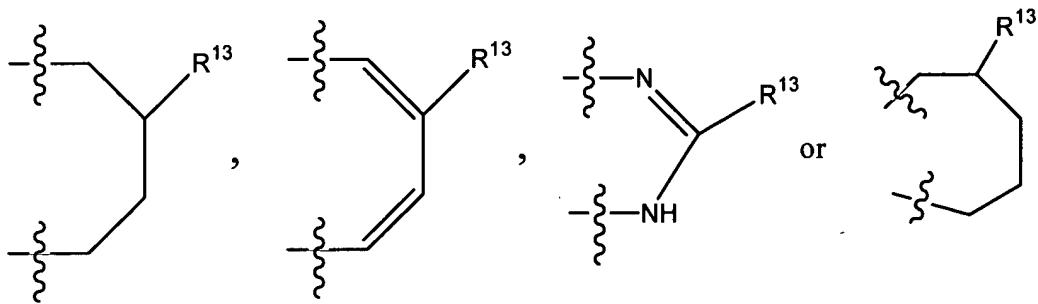
R² represents H or halogen;

R³ represents H, OH or NH₂;

R⁴ represents H, CH₃, halogen or benzo[1,3]dioxol-5-yl;

R⁵ represents H; or

R³ and R⁴ or taken together to form



7. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of (i) a compound; or (ii) a pharmaceutically acceptable salt of a compound of Claim 1.

8. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound or a pharmaceutically acceptable salt of a compound of Claim 4.

9. (withdrawn)

10. (original) A compound of Claim 6, wherein the compound is selected from:

N-(4-Carbamimidoyl-phenyl)-2-hydroxy-3-iodo-5-methyl-benzamide;

3,5-Dibromo-N-(4-carbamimidoyl-phenyl)-2,4-dihydroxy-benzamide;

5-Bromo-N-(4-carbamimidoyl-phenyl)-2,4-dihydroxy-3-iodo-benzamide;

3-Hydroxy-naphthalene-2-carboxylic acid (6-guanidino-pyridin-3-yl)-amide; and

3-Hydroxy-7-methoxy-naphthalene-2-carboxylic acid (4-guanidino-phenyl)-amide.

11. (original) A compound of Claim 1 wherein

R¹ represents OH or COOH;

R²⁰ represents H;

R⁵¹ and R⁵² taken together form =O;

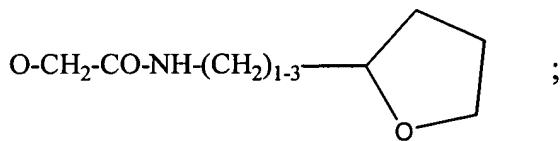
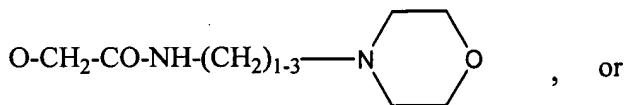
X₁ represents N; and

X₂, X₃, and X₄ represent C.

12. (original) A compound of Claim 1 wherein

R² represents halo, H, NH-CO-Ph, *i*-propyl, OH, CH₃, NO₂ or Ph;

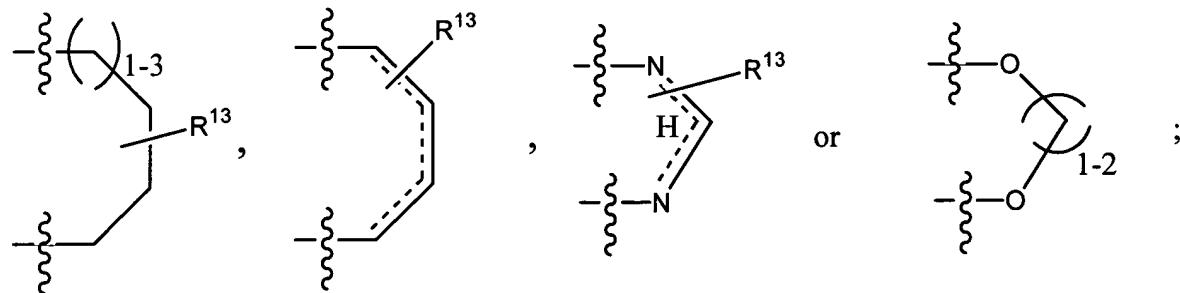
R³ represents H, OH, NH₂ OC₁₋₄ alkyl, C₁₋₄ alkyl, O-(CH₂)₁₋₃-OCO-C₁₋₂ alkyl, NH-C(O)C₁₋₂ alkyl, O-(CH₂)₁₋₂-CO-NH₂, Ph, NHCOCF₃, N=CH-N(CH₃)₂, O-CH₂-CO-NH-(CH₂)₁₋₃-Ph,



R⁴ represents H, C₁₋₄ alkyl, halogen, *i*-propyl, OH, NH₂ 3-nitro-phen-1-yl, NH-CO-CH₃, CH₂-NH-(CH₂)₃-Ph, 2,4-difluoro-phen-1-yl, NHCOCF₃, benzo[1,3]dioxol-5-yl, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl; 1,3-Dioxo-indan-2-yl, or toluene-4-sulfonylamino;

R⁵ represents H or OH;

alternatively, R² and R³, R³ and R⁴, or R⁴ and R⁵ can be taken together to form



R⁶ represents H;

R⁷ represents C(=NH)-NH₂ or NH-C(=NH)-NH₂;

R⁸ represents H or halogen; and

R⁹ represents H.

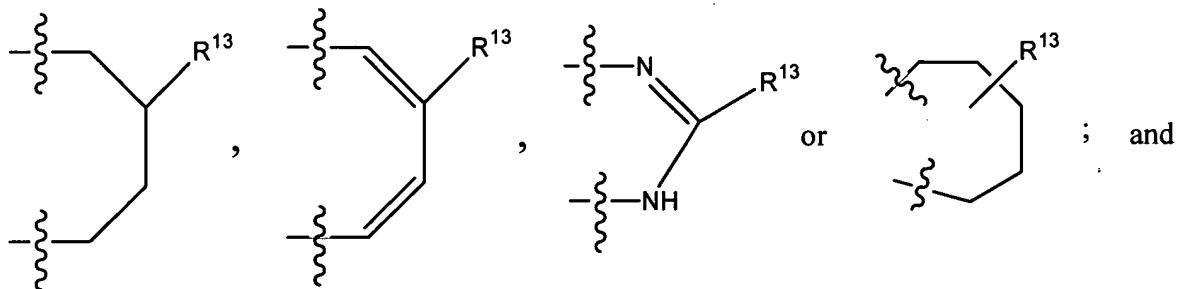
13. (original) A compound of claim 12 wherein

R² represents halo, H, NH-CO-Ph, *i*-propyl, OH, CH₃, or NO₂;

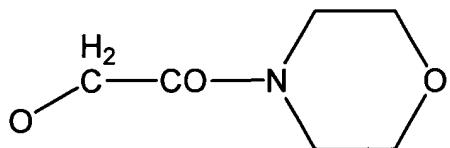
R³ represents H, OH, NH₂, OC₁₋₂ alkyl, C₁₋₄ alkyl, O-(CH₂)₁₋₃-OCO-C₁₋₂ alkyl, NH-C(O)CH₃, O-CH₂-CO-NH₂, Ph, NHCOCF₃, N=CH-N(CH₃)₂, O-CH₂-CO-NH-(CH₂)₂-Ph;

R⁴ represents H, CH₃, methoxy, halogen, *i*-propyl, 3-nitro-phen-1-yl, NHCOCF₃, benzo[1,3]dioxol-5-yl, NHCOCH₃, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl or 1,3-Dioxo-indan-2-yl;

alternatively, R² and R³, R³ and R⁴, or R⁴ and R⁵ can be taken together to form



R¹³ represents C₁₋₂ alkyl, OH, O(CH₂)₁₋₂-NH₂, H, or

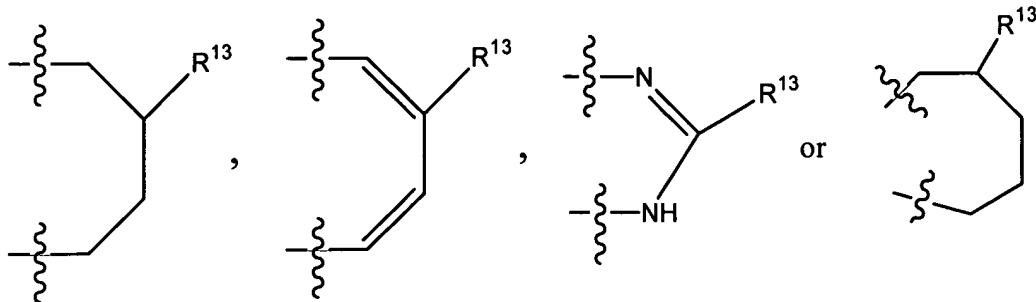


14. (original) A compound of Claim 13 wherein

R³ represents H, OH, NH₂, OC₁₋₂ alkyl, C₁₋₄ alkyl, O-CH₂-OCO-CH₃, NH-C(O)CH₃, O-CH₂-CO-NH₂;

R⁴ represents H, CH₃, halogen, *i*-propyl, benzo[1,3]dioxol-5-yl, or 1,3-Dioxo-indan-2-yl;

alternatively, R² and R³, R³ and R⁴, or R⁴ and R⁵ can be taken together to form



15. (original) A compound of Claim 14 wherein

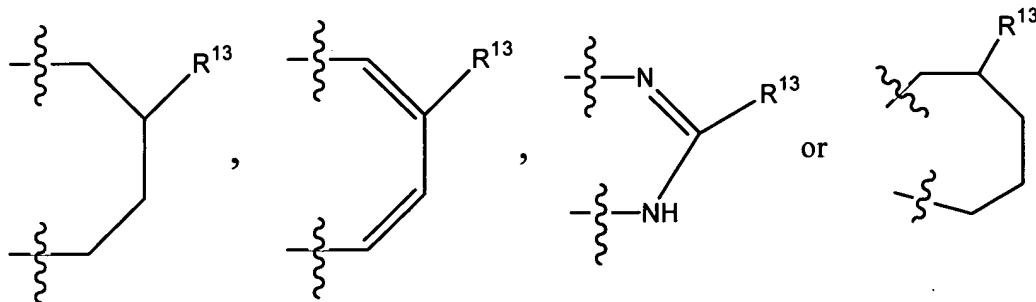
R² represents H or halogen;

R³ represents H, OH or NH₂;

R⁴ represents H, CH₃, halogen or benzo[1,3]dioxol-5-yl;

R⁵ represents H; and

R³ and R⁴ or taken together to form



16. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound or a pharmaceutically acceptable salt of a compound of Claim 10.

17. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 13 or a pharmaceutically acceptable salt thereof.

Claims 18-31 (withdrawn)